OPHTHALMIC DELIVERY OF ACYCLOVIR LOADED CHITOSAN NANOPARTICLE

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Abstract: Acyclovir is an antiviral drug with a significant and highly specific activity against herpes viruses and is widely used in the treatment of various ocular viral diseases. In particular, herpes simplex keratitis (in the most severe cases) is characterized by the spread of the virus into the deeper corneal layers, leading to damage of the stromal cells. Therefore, treatment requires a suitable permeation of the antiviral drug through the epithelium in order to reduce the virus load. The topical application of acyclovir as eye ointment is limited by poor ocular drug bioavailability, pulse drug entry, systemic exposure due to the nasolacrimal duct drainage and poor entrance to the posterior segments of the eye due to the lens-iris diaphragm. Many attempts have been made to improve the ocular bioavailability and the therapeutic effectiveness of acyclovir, e.g., chemical modification of the drug and its incorporation into colloidal systems such as liposomes or nanoparticles. Nanoparticles have been used as ophthalmic delivery systems because they are able to penetrate into the corneal or conjunctival tissue by an endocytotic mechanism. Further nanoparticles owing to their polymeric nature present some important advantages such as high storage stability, controlled release of the encapsulated drug, and a prolonged residence time in the precorneal area, particularly in the case of ocular inflammation and/or infection. Acyclovir loaded chitosan nanoparticles were prepared by ionic gelation of chitosan solution with sodium tripolyphosphate (0.25%) prepared in the presence of Tween 80 (0.5%) as a re-suspending agent to prevent aggregation, at ambient temperature while stirring. Compatibility study of drug with the polymer was determined by FTIR Spectroscopy. The results of the DSC thermogram suggested that there was no chemical interaction between acyclovir and chitosan. The size of the nanoparticles was analyzed by Transmission electron microscopy (TEM). The Encapsulation efficiency and loading capacity of the nanoparticles were determined by the separation of nanoparticles from the aqueous medium containing non associated acyclovir by cold centrifugation at 12000g for 30 minutes. The amount of free acyclovir in the supernatant was measured by UV method at 253 nm. Chitosan nanoparticles had shown an excellent capacity for the association of acyclovir. The mean particle size, morphological characteristic and surface property of the nanoparticles appear to depend on concentration of acyclovir, loaded in chitosan nanoparticles. The release profile of acyclovir from nanoparticles has shown a slow controlled release following zero order kinetic with non Fickian mechanism. The results demonstrated the effective use of acyclovir loaded chitosan nanoparticles as a controlled release preparation for treatment of ocular viral infections.

Key words: nano drug-delivery, chitosan, acyclovir, FTIR, encapsulation efficiency, release profile